

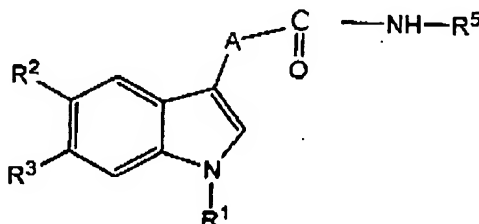
Application No.: 10/081,642

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IN THE CLAIMS

Claims 1-20 (canceled)

21. (currently amended) A process for preparing a compound of Formula 1



or salts thereof, wherein

$R^1$  is a straight or branched  $C_{1-12}$  alkyl optionally substituted with phenyl, or  $C_{3-8}$  cycloalkyl radical wherein the phenyl radical is optionally substituted with a halo, nitro, hydroxy,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, or  $COOH$ ;

$R^2$  and  $R^3$  are each independently of each other hydrogen or an OH radical where at least one of  $R^2$  and  $R^3$  are  $-OH$ ;

$R^5$  is a pyridyl radical substituted with at least one halogen radical and is optionally further substituted with  $-H$ ,  $-OH$ ,  $-SH$ ,  $-NH_2$ ,  $-NHC_{1-6}$  alkyl,  $-N(C_{1-6}$  alkyl) $_2$ ,  $-NHC_{6-14}$  aryl,  $-N(C_{6-14}$  aryl) $_2$ ,  $-N(C_{1-6}$  alkyl)( $C_{6-14}$  aryl),  $-NHCOR^6$ ,  $-NO_2$ ,  $-CN$ ,  $-COOH$ ,  $-(CO)R^6$ ,  $-(CS)R^6$ ,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-O-C_{1-6}$  alkyl,  $-O-C_{6-14}$  aryl,  $-O(CO)R^6$ ,  $-S-C_{1-6}$  alkyl,  $-S-C_{6-14}$  aryl,  $-SOR^6$ , or  $-SO_2R^6$ ; and

A is a bond,  $C=O$ , or a  $CHOH$  radical or a pharmaceutically acceptable salt thereof,

which method comprises converting a compound of formula (I), wherein  $R^2$  or  $R^3$  or  $R^2$  and  $R^3$  are  $O-R^7$ , into the compound of formula (I) by removing removal of  $R^7$ , wherein  $R^7$  is a

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substituent that is a protecting leaving group selected from the group consisting of heteraryl alkyl, cycloalkyl, arylalkyl, aryl, acyl, alkoxycarbonyl, aryloxy carbonyl, aminocarbonyl, N-substituted aminocarbonyl, silyl and a sulfonyl group; group wherein acyl, alkoxycarbonyl, aryloxy carbonyl, aminocarbonyl, N-substituted aminocarbonyl, silyl or sulfonyl residues are removed by hydrolysis with a suitable base, and wherein heteroaryl, alkyl, cycloalkyl and aryl groups are removed by an ether cleavage.

22. (canceled)

23. (canceled)

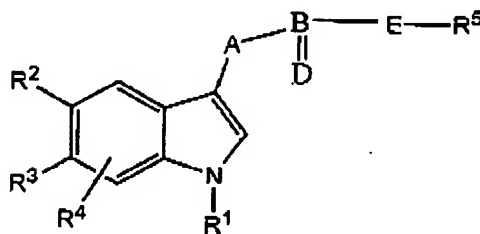
24. (canceled)

25. (canceled)

26. (previously presented) The method of claim 21, wherein  $R^5$  is substituted with one or two halogens.

27. (canceled)

28. (currently amended) A process for preparing a compound of Formula 1



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or a salt thereof, wherein  $R^1$ ,  $R^5$  are independently of each other

(i) a  $C_{1-12}$  alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, -SO<sub>3</sub>H, -SO<sub>2</sub>R<sup>6</sup>, -OSO<sub>2</sub>C<sub>1-6</sub> alkyl, -OSO<sub>2</sub>C<sub>6-14</sub> aryl, -(CS)R<sup>6</sup>, -COOH, -(CO)R<sup>6</sup>, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C<sub>6-14</sub> aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R<sup>4</sup>,

(ii) -C<sub>2-12</sub> alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, -SO<sub>3</sub>H, -SO<sub>2</sub>R<sup>6</sup>, -OSO<sub>2</sub>C<sub>1-6</sub> alkyl, -OSO<sub>2</sub>C<sub>6-14</sub> aryl, -(CS)R<sup>6</sup>, -COOH, -(CO)R<sup>6</sup>, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C<sub>6-14</sub> aryl groups and the included carbocyclic and heterocyclic substituents for their part can optionally be mono- or polysubstituted by R<sup>4</sup>,

(iii) mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members,

optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, -SO<sub>3</sub>H, -SO<sub>2</sub>R<sup>6</sup>, -OSO<sub>2</sub>C<sub>1-6</sub> alkyl, -OSO<sub>2</sub>C<sub>6-14</sub> aryl, -(CS)R<sup>6</sup>, -COOH, -(CO)R<sup>6</sup>, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from

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1 to 6 heteroatoms, which are suitably N, O and S, where the C<sub>6-14</sub> aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R<sup>4</sup>,

(iv) mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, -SO<sub>3</sub>H, -SO<sub>2</sub>R<sup>6</sup>, -OSO<sub>2</sub>C<sub>1-6</sub> alkyl, -OSO<sub>2</sub>C<sub>6-14</sub> aryl, -(CS)R<sup>6</sup>, -COOH, -(CO)R<sup>6</sup>, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C<sub>6-14</sub> aryl groups and the included carbocyclic and heterocyclic substituents for their part can be optionally mono- or polysubstituted by R<sup>4</sup>, -carbo- or heterocyclic saturated or mono- or polyunsaturated spirocycles having from 3 to 10 ring members, where heterocyclic systems contains from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, -SO<sub>3</sub>H, -SO<sub>2</sub>R<sup>6</sup>, -OSO<sub>2</sub>C<sub>1-6</sub> alkyl, -OSO<sub>2</sub>C<sub>6-14</sub> aryl, -(CS)R<sup>6</sup>, -COOH, -(CO)R<sup>6</sup>, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C<sub>6-14</sub> aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R<sup>4</sup>,

R<sup>2</sup>, R<sup>3</sup> are hydrogen or -OH, where at least one of the two substituents must

be -OH;

R<sup>4</sup> is -H, -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -COOH, -(CO)R<sup>6</sup>, -(CS)R<sup>6</sup>, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, -SO<sub>2</sub>R<sup>6</sup>.

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$R^6$  is -H, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -C<sub>1-12</sub> alkyl, straight-chain or branched-chain, -C<sub>2-12</sub> alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

A is either a bond, or -CH<sub>2</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>-(CH=CH)<sub>n</sub>-(CH<sub>2</sub>)<sub>p</sub>-, -(CHOZ)<sub>m</sub>-, -(C=O)-, -(C=S)-, -(C=N-Z)-, -O-, -S-, -NZ-, where m and p are cardinal numbers from 0 to 3 and n is a cardinal number from 0 to 2,

Z is H, or a C<sub>1-12</sub> alkyl, straight-chain or branched-chain, C<sub>2-12</sub> alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

B is either carbon or sulfur, or -(S=O)-;

D is oxygen, sulfur, CH<sub>2</sub> or N-Z, where D can only be S or CH<sub>2</sub> if B is carbon;

E is a bond, or (CH<sub>2</sub>)<sub>m</sub>-, -O-, -S-, -(N-Z)-, where m and Z have the same meanings as above; wherein

$R^5$  is pyridyl which may be optionally mono or polyunsubstituted with -H, -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub> alkyl, -N(C<sub>1-6</sub> alkyl)<sub>2</sub>, -NHC<sub>6-14</sub> aryl, -N(C<sub>6-14</sub> aryl)<sub>2</sub>, -N(C<sub>1-6</sub> alkyl)(C<sub>6-14</sub> aryl), -NHCOR<sup>6</sup>, -NO<sub>2</sub>, -CN, -COOH, -(CO)R<sup>6</sup>, -(CS)R<sup>6</sup>, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub> alkyl, -O-C<sub>6-14</sub> aryl, -O(CO)R<sup>6</sup>, -S-C<sub>1-6</sub> alkyl, -S-C<sub>6-14</sub> aryl, -SOR<sup>6</sup>, or -SO<sub>2</sub>R<sup>6</sup>, which method comprises converting a

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compound of formula 1 to another compound of formula 1 wherein  $R^2$  or  $R^3$ , or  $R^2$  and  $R^3$  is  $-O-R^7$  by removing the  $R^7$  wherein  $R^7$  is a leaving group.

29. (previously presented) The process of claim 28, wherein said leaving group is selected from the group consisting of alkyl, cycloalkyl, arylalkyl, aryl, heteroaryl, acyl, alkoxycarbonyl, aryloxy carbonyl, aminocarbonyl, N-substituted aminocarbonyl, silyl, sulfonyl and a complexing agent.

30. (previously presented) The process of claim 29, wherein said complexing agent is a compound of boric acid or phosphoric acid, or a compound containing a covalently bonded metal.

31. (previously presented) The process of claim 30, wherein said metal is zinc, aluminum, or copper.

32. (previously presented) The method of claim 28, wherein  $R^3$  is substituted with one or two halogens.

33. (new) The method of claim 21, wherein  $R^1$  is an optionally substituted  $C_1$ - $C_2$ alkyl.

34. (new) The method of claim 26, wherein  $R^1$  is an optionally substituted  $C_1$ - $C_2$ alkyl.

35. (new) The method of claim 28, wherein  $R^1$  is an optionally substituted  $C_1$ - $C_2$ alkyl.

36. (new) The method of claim 29, wherein  $R^1$  is an optionally substituted  $C_1$ - $C_2$ alkyl.